

# PATENT COOPERATION TREATY

From the  
INTERNATIONAL SEARCHING AUTHORITY

## PCT

### WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY (PCT Rule 43bis.1)

To:          <div style="text-align: center; margin-top: 20px;">see form PCT/ISA/220</div>		<div style="border: 1px solid black; padding: 5px; margin-bottom: 5px;">           Date of mailing (day/month/year) see form PCT/ISA/210 (second sheet)         </div> <div style="border: 1px solid black; padding: 5px;"> <b>FOR FURTHER ACTION</b>            See paragraph 2 below         </div>
Applicant's or agent's file reference see form PCT/ISA/220		
International application No. PCT/EP2004/005490	International filing date (day/month/year) 18.05.2004	
Priority date (day/month/year) 21.05.2003		
International Patent Classification (IPC) or both national classification and IPC A01N43/78, A01N43/08, A01N37/50, A01N37/44, A01N37/42, A01N37/38, A01N37/36, A01N37/06, A01N57/20,		
Applicant ISAGRO S.P.A.		

**1. This opinion contains indications relating to the following items:**

- ☒ Box No. I      Basis of the opinion
- ☒ Box No. II      Priority
- ☐ Box No. III      Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV      Lack of unity of invention
- ☒ Box No. V      Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☒ Box No. VI      Certain documents cited
- ☐ Box No. VII      Certain defects in the international application
- ☐ Box No. VIII      Certain observations on the international application

**2. FURTHER ACTION**

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA"). However, this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of three months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

**3. For further details, see notes to Form PCT/ISA/220.**

Name and mailing address of the ISA:  <div style="display: flex; align-items: center;"> <div>             European Patent Office              D-80298 Munich              Tel. +49 89 2399 - 0 Tx: 523656 epmu d              Fax: +49 89 2399 - 4465           </div> </div>	Authorized Officer  Klaver, J  Telephone No. +49 89 2399-8601
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**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY**International application No.  
PCT/EP2004/005490

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**Box No. I Basis of the opinion**

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1. With regard to the **language**, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
  - ☐ This opinion has been established on the basis of a translation from the original language into the following language , which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).
2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
  - a. type of material:
    - ☐ a sequence listing
    - ☐ table(s) related to the sequence listing
  - b. format of material:
    - ☐ in written format
    - ☐ in computer readable form
  - c. time of filing/furnishing:
    - ☐ contained in the international application as filed.
    - ☐ filed together with the international application in computer readable form.
    - ☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

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**Box No. II Priority**

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1. ☒ The following document has not been furnished:

☒ copy of the earlier application whose priority has been claimed (Rule 43*bis*.1 and 66.7(a)).

☐ translation of the earlier application whose priority has been claimed (Rule 43*bis*.1 and 66.7(b)).

Consequently it has not been possible to consider the validity of the priority claim. This opinion has nevertheless been established on the assumption that the relevant date is the claimed priority date.

2. ☐ This opinion has been established as if no priority had been claimed due to the fact that the priority claim has been found invalid (Rules 43*bis*.1 and 64.1). Thus for the purposes of this opinion, the international filing date indicated above is considered to be the relevant date.

3. Additional observations, if necessary:

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**Box No. V Reasoned statement under Rule 43*bis*.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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1. Statement

Novelty (N)	Yes: Claims	1 - 6, 14
	No: Claims	7 - 13, 15 - 18
Inventive step (IS)	Yes: Claims	
	No: Claims	1 - 18 (insofar as novel)
Industrial applicability (IA)	Yes: Claims	1 - 18
	No: Claims	

2. Citations and explanations

**see separate sheet**

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**Box No. VI Certain documents cited**

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1. Certain published documents (Rules 43*bis*.1 and 70.10)

and / or

2. Non-written disclosures (Rules 43*bis*.1 and 70.9)

**see form 210**

## **V Novelty and inventive step**

1). The subject-matter of claims 7 - 13 and 15 - 18 is not novel (Art. 33(2) PCT) for the following reasons:

- The following documents disclose the use of Cu(II) salts of hydroxybenzoic acid derivatives within the scope of present formula (I) with  $A = (A_8)$  for the protection of various crops against phytopathogenic microorganisms:

US 3,995,034 (= D2): use in, inter alia, seed and fruit treatment against mildew

FR 2 140 269 (= D3): use on plants and plant seeds against various fungal diseases

JP 52 096 734 A (= D5): use against harmful organisms

D2, D3 and D5 thus anticipate the novelty of present claims 10 - 13 and 15 - 18.

D2 and D5 also disclose a method for the preparation of these Cu-salts as defined by present claim 9.

- US 4,956,183 (= D4) discloses the use of Cu(II) salts of ketocarboxylic acids within the scope of present formula (I) with  $A = (A_3)$  as antifungal agent as well as a method of preparation of such salts. D4 hence anticipates the novelty of present claims 7 and 8 (Cu(II) ketoglutarate), 9 - 13, 15 and 18

2). The use of Cu(II) compounds of formula (I) for the control of phytopathogens on vegetables as defined by present claim 1 has not been disclosed in the prior art as cited in the International Search Report.

The subject-matter of claims 1 - 6 hence is novel.

The prior art does not disclose compounds as defined by general Formula (I') in claim 7, apart from the ketoglutarate Cu(II) compound as disclosed in D4. Claims 7 and 8 for compounds other than the ketoglutarate compound hence are novel as well.

Insofar as claims 9 - 18 relate to compounds other those within the scope of formula (I) with  $A = (A_3)$  or  $(A_8)$ , there subject-matter has not been disclosed in the prior art as defined by the ISR.

3). The subject-matter of present claims 1 - 18, insofar as it can be considered novel, is not considered to be based on an inventive step (Art. 33 (3) PCT) for the following reasons:

- Insofar as present claims 1 - 6 and 14 define subject-matter that has not been

specifically disclosed by D2 - D5 (use of Cu(II) salts of hydroxybenzoic acid derivatives ( $A_8$ ) or of keto-carboxylic acids ( $A_3$ ) on vegetables and/or against specific fungal pathogens), said subject-matter is obvious in view of these citations. Given the known low toxicity of these Cu(II) salts and their advantageous antifungal properties it is obvious to use these salts on vegetables and against the specific fungal pathogens of claim 14.

- For the further embodiments of claims 1 - 18 it appears an obvious step to combine the known antifungal properties of Cu(II) salts of various carboxylic acids (JP 48 098 021 A (= D6) and GB 1 424 345 (= D7) with other carboxylate anions which also are known to have a antifungal and/or antimicrobial effect, in particular against phytopathogens such as those disclosed in EP 718 280 A1 (= D8; in particular the compounds disclosed in Tables 26 - 28) and WO 95/15684 A1 (= D9; in particular  $\beta$ -amino substituted propionic and butyric acids).

The examples on file furthermore only demonstrate that Cu(II) salts of chlorobenzylidene malonic acid derivatives within the scope of formula (I) with  $A = (A_1)$  in which substituent  $R_1 =$  substituted phenyl and  $R_2 = H$  (compounds 1 - 3) possess fungicidal properties which is hardly surprising given the known antifungal properties of Cu(II) salts.

It can neither be seen whether other compounds within the broad scope of formula (I) possess the same alleged advantageous effects nor whether such effects are surprising when compared to the antifungal properties of the compounds of the closest prior art (D2/D3 for benzoic acid derivatives, D4 for keto-organic acids and D6/D7 for other Cu(II) salts).

- The preparation of the compounds of formula (I) as defined by claim 9 is obvious and based on well known reaction steps.

### **Further remarks**

1). Document WO 03/043971 A1 (= D1) was published on 30.05.03 which is after the priority date as claimed by the present application (21.05.03 IT).

Provided that this priority has been validly claimed, D1 does not form part of the prior art (Rule 64.1 (b) (ii) PCT).

However, in the European phase of the application D1 will be relevant prior art for the purposes of Art. 54 (3) EPC. Since this document discloses various Cu(II) compounds within the scope of formula (I) with  $A = (A_8)$  and their use as antifungal agent for the

treatment of vegetables, it anticipates the novelty of the subject-matter of claims 1 - 6 and 9 - 18 for these embodiments.

2). Examples 2 - 5 all mention two different compound numbers in their title and in the text of the example. The compounds mentioned in the title are not given in the tables whereas the compounds in the tables are not equivalent with the numbers given in the text of the examples.

3). The compound (Cu(II) salt of) 3-benzylidene-2-carboxypropenoic acid mentioned in claims 6 and 8 is not within the scope of formula (I) (with  $A = A_1$  or  $A_2$ ).

4). In claim 1 formula  $A_7$  defines substituents  $R_5$  and  $R_6$  as possibly forming a  $C_1 - C_6$  cycle which is chemically not very likely.

5). The compounds as defined in claim 7 are defined in an unnecessary complicated way: various substituents have been defined by only one meaning. These compounds thus could be directly specified by this particular embodiment (Art. 6 PCT, conciseness).

The process for the preparation of claim 9 furthermore defines all possible compounds within the scope of claim 1, which is much broader than the compound claims 7 and 8. The intended scope of protection of the compound claims hence is ambiguous (Art. 6 PCT).

6). Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art disclosed in the documents D2 - D5 and D7 - D9 is not mentioned in the description, nor are these documents identified therein.